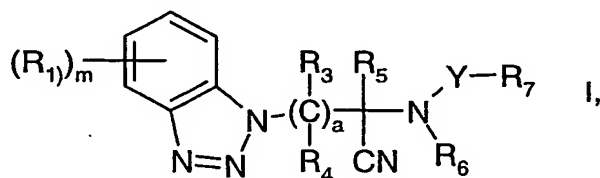


## BENZOTRIAZOL-1-YL-AMINOACETONITRILE COMPOUNDS AND THEIR USE IN THE CONTROL OF PARASITE DISEASE

The present invention relates to new benzotriazolyl-aminoacetonitrile compounds of formula



wherein

R<sub>1</sub> signifies halogen, cyano, nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, arylthio, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkyloxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, unsubstituted or substituted aryl or unsubstituted or substituted phenoxy, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl;

R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> either, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl; C<sub>3</sub>-C<sub>6</sub>-cycloalkyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen and C<sub>1</sub>-C<sub>6</sub>-alkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino;

or R<sub>4</sub> and R<sub>5</sub> together signify C<sub>2</sub>-C<sub>6</sub>-alkylene;

R<sub>6</sub> signifies hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl, aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, thio-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl or benzyl;

R<sub>7</sub> signifies hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, piperonyl, phenyl which is unsubstituted or substituted once or many times, whereby the

substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-cycloalkyloxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyloxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonylamino, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonylamino, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, di(C<sub>1</sub>-C<sub>6</sub>-alkyl)aminocarbonyl; aryl-C<sub>1</sub>-C<sub>6</sub>-alkyl which is unsubstituted or substituted once or many times, arylamino which is unsubstituted or substituted once or many times, arylcarbonyl which is unsubstituted or substituted once or many times, arylcarbonyloxy which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C<sub>1</sub>-C<sub>6</sub>-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C<sub>1</sub>-C<sub>6</sub>-alkyl which is unsubstituted or substituted once or many times, aryloxy carbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, arylsulfonylamino which is unsubstituted or substituted once or many times, pyridyloxy which is unsubstituted or substituted once or many times, and phenylacetylenyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl;

unsubstituted hetaryl or hetaryl which is substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino;

or naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>6</sub>-

alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>6</sub>-alkenyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>2</sub>-C<sub>6</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylthio, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>6</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino;

R<sub>8</sub> and R<sub>9</sub>, independently of one another, signify hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylthiocarbonyl, thio-C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, aryl or hetaryl;

Y signifies a direct bond, C(O), C(S) or S(O)<sub>n</sub>;

a signifies 1, 2 or 3;

m signifies 0, 1, 2, 3 or 4; and

n is 1 or 2;

their preparation and use in the control of endo- and ectoparasites, especially helminths, in and on warm-blooded productive livestock and domestic animals and plants, and furthermore pesticides containing at least one of these compounds.

Substituted aminoacetonitrile compounds having pesticidal activity are described for example in EP-0.953.565 A2. However, the active ingredients specifically disclosed therein cannot always fulfil the requirements regarding potency and activity spectrum. There is therefore a need for active ingredients with improved pesticidal properties. It has now been found that the aminoacetonitrile compounds of formula I have excellent pesticidal properties, especially against endo- and ecto-parasites in and on productive livestock and domestic animals and plants.

Aryl is phenyl or naphthyl.

Hetaryl is pyridyl, pyrimidyl, s-triazinyl, 1,2,4-triazinyl, thienyl, furanyl, pyrrol, pyrazolyl, imidazolyl, thiazolyl, triazolyl, oxazolyl, thiadiazolyl, oxadiazolyl, benzothienyl, benzofuranyl, benzothiazolyl, indolyl or indazolyl, preferably pyridyl, pyrimidyl, s-triazinyl or 1,2,4-triazinyl, especially pyridyl or pyrimidyl.

Alkyl - as a group *per se* and as structural element of other groups and compounds, for example halogen-alkyl, alkoxy, and alkylthio - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question, either straight-chained, i.e. methyl, ethyl, propyl, butyl, pentyl or hexyl, or branched, e.g. isopropyl, isobutyl, sec.-butyl, tert.-butyl, isopentyl, neopentyl or isohexyl.

Alkenyl - as a group *per se* and as structural element of other groups and compounds - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question and of the conjugated or isolated double bonds - either straight-chained, e.g. allyl, 2-butenyl, 3-pentenyl, 1-hexenyl or 1,3-hexadienyl, or branched, e.g. isopropenyl, isobutenyl, isoprenyl, tert.-pentenyl or isohexenyl.

Alkynyl - as a group *per se* and as structural element of other groups and compounds - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question and of the conjugated or isolated double bonds - either straight-chained, e.g. propargyl, 2-butylnyl, 3-pentynyl, 1-hexynyl, 1-heptynyl or 3-hexen-1-ynyl, or branched, e.g. 3-methylbut-1-ynyl, 4-ethylpent-1-ynyl or 4-methylhex-2-ynyl.

Cycloalkyl - as a group *per se* and as structural element of other groups and compounds such as halocycloalkyl, - is, in each case with due consideration of the specific number of carbon atoms in the group or compound in question, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

Halogen - as a group *per se* and as structural element of other groups and compounds such as haloalkyl, haloalkoxy and haloalkylthio - is fluorine, chlorine, bromine or iodine, especially fluorine, chlorine or bromine, in particular fluorine or chlorine.

Halogen-substituted carbon-containing groups and compounds, such as haloalkyl, haloalkoxy or haloalkylthio, may be partially halogenated or perhalogenated, whereby in the case of multiple halogenation, the halogen substituents may be identical or different. Examples of halogen-alkyl - as a group *per se* and as structural element of other groups and compounds such as haloalkoxy or haloalkylthio, - are methyl which is mono- to trisubstituted by fluorine, chlorine and/or bromine, such as  $\text{CHF}_2$  or  $\text{CF}_3$ ; ethyl which is mono- to pentasubstituted by fluorine, chlorine and/or bromine, such as  $\text{CH}_2\text{CF}_3$ ,  $\text{CF}_2\text{CF}_3$ ,  $\text{CF}_2\text{CCl}_3$ ,  $\text{CF}_2\text{CHCl}_2$ ,  $\text{CF}_2\text{CHF}_2$ ,  $\text{CF}_2\text{CFCl}_2$ ,  $\text{CF}_2\text{CHBr}_2$ ,  $\text{CF}_2\text{CHClF}$ ,  $\text{CF}_2\text{CHBrF}$  or  $\text{CClFCHClF}$ ; propyl or isopropyl, mono- to heptasubstituted by fluorine, chlorine and/or bromine, such as  $\text{CH}_2\text{CHBrCH}_2\text{Br}$ ,  $\text{CF}_2\text{CHFCH}_2\text{CF}_3$ ,  $\text{CH}_2\text{CF}_2\text{CF}_3$  or  $\text{CH}(\text{CF}_3)_2$ ; butyl or one of its isomers, mono- to nonasubstituted by fluorine, chlorine and/or bromine, such as  $\text{CF}(\text{CF}_3)\text{CH}_2\text{CF}_3$  or  $\text{CH}_2(\text{CF}_2)_2\text{CF}_3$ ; pentyl or one of its isomers substituted once to eleven times by fluorine, chlorine and/or bromine, such as  $\text{CF}(\text{CF}_3)(\text{CHF})_2\text{CF}_3$  or  $\text{CH}_2(\text{CF}_2)_3\text{CF}_3$ ; and hexyl or one of its isomers substituted once to thirteen times by fluorine, chlorine and/or bromine, such as  $(\text{CH}_2)_4\text{CHBrCH}_2\text{Br}$ ,  $\text{CF}_2(\text{CHF})_4\text{CF}_3$ ,  $\text{CH}_2(\text{CF}_2)_4\text{CF}_3$  or  $\text{C}(\text{CF}_3)_2(\text{CHF})_2\text{CF}_3$ .

Alkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Alkoxy is for example methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec.-butoxy and tert.-butoxy, as well as the isomers pentyloxy and hexyloxy; preferably methoxy and ethoxy. Haloalkoxy groups preferably have a chain length of 1 to 6 carbon atoms. Haloalkoxy is e.g. fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy; preferably difluoromethoxy, 2-chloroethoxy and trifluoromethoxy.

Alkylthio groups preferably have a chain length of 1 to 6 carbon atoms. Alkylthio is for example methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, sec.-butylthio or tert.-butylthio, preferably methylthio and ethylthio.

Preferred embodiments within the scope of the invention are:

(1) A compound of formula I, wherein  $R_1$  signifies halogen, cyano, nitro,  $C_1$ - $C_4$ -alkyl, halo- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, halo- $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylcarbonyl, halo- $C_1$ - $C_4$ -alkylcarbonyl,  $C_1$ - $C_4$ -alkylsulfonyl or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano,  $C_1$ - $C_4$ -alkyl, halo- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy and halo- $C_1$ - $C_4$ -alkoxy;

especially halogen, cyano, nitro,  $C_1$ - $C_2$ -alkyl, halo- $C_1$ - $C_2$ -alkyl,  $C_1$ - $C_2$ -alkoxy, halo- $C_1$ - $C_2$ -alkoxy or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen,  $C_1$ - $C_4$ -alkyl, halo- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy and halo- $C_1$ - $C_4$ -alkoxy;

most particularly halogen, cyano, nitro,  $C_1$ - $C_2$ -alkyl, halo- $C_1$ - $C_2$ -alkyl,  $C_1$ - $C_2$ -alkoxy or halo- $C_1$ - $C_2$ -alkoxy;

(2) A compound of formula I, wherein  $R_3$ ,  $R_4$  and  $R_5$  are either, independently of one another, hydrogen, halogen,  $C_1$ - $C_4$ -alkyl, halo- $C_1$ - $C_4$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano,  $C_1$ - $C_4$ -alkyl, halo- $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy, halo- $C_1$ - $C_4$ -alkoxy;  $C_1$ - $C_4$ -alkylthio and halo- $C_1$ - $C_4$ -alkylthio; or  $R_4$  and  $R_5$  together are  $C_2$ - $C_6$ -alkylene;

especially, independently of one another, hydrogen, halogen,  $C_1$ - $C_2$ -alkyl, halo- $C_1$ - $C_2$ -alkyl or  $C_3$ - $C_6$ -cycloalkyl;

most particularly, independently of one another, hydrogen, methyl or halomethyl;

(3) A compound of formula I, wherein R<sub>6</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl or benzyl;

especially hydrogen, C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl or benzyl;

most particularly hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl;

(4) A compound of formula I, wherein R<sub>7</sub> signifies phenyl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyl, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyl, C<sub>2</sub>-C<sub>4</sub>-alkynyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyloxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>4</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>4</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl; aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C<sub>1</sub>-C<sub>4</sub>-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C<sub>1</sub>-C<sub>4</sub>-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl; hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl; or naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>2</sub>-C<sub>4</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>4</sub>-alkenylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl; in particular aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting

of halogen, nitro, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>3</sub>-C<sub>5</sub>-cycloalkyl, C<sub>1</sub>-C<sub>2</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>2</sub>-alkylthio, C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>2</sub>-alkoxycarbonyl; aryl-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>1</sub>-C<sub>2</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>2</sub>-alkylthio, C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl; or

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>2</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>2</sub>-alkylthio, C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl;

most particularly aryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>3</sub>-C<sub>5</sub>-cycloalkyl, C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>2</sub>-alkoxycarbonyl; aryl-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, and aryloxy-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy;

(5) a compound of formula I, wherein R<sub>8</sub> and R<sub>9</sub> independently of one another, signify hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl or aryl;

especially, independently of one another, hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

most particularly, independently of one another, hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl;

(6) A compound of formula I, wherein Y is C(O) or S(O)<sub>n</sub>;

especially C(O);

(7) A compound of formula I, wherein a is 1 or 2;

especially 1;

(8) A compound of formula I, wherein m is 1, 2 or 3;

especially 1 or 2;

(9) A compound of formula I, wherein n is 2;

(10) A compound of formula I, wherein

R<sub>1</sub> signifies halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy;

R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub>, independently of one another, are hydrogen, halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl; phenyl that is either unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy; C<sub>1</sub>-C<sub>4</sub>-alkylthio and halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio; or R<sub>4</sub> and R<sub>5</sub> together are C<sub>2</sub>-C<sub>6</sub>-alkylene;

R<sub>6</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl or benzyl;

R<sub>7</sub> signifies phenyl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyl, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyl, C<sub>2</sub>-C<sub>4</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyloxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl, C<sub>2</sub>-C<sub>4</sub>-alkenylsulfonyl, halo-C<sub>2</sub>-C<sub>4</sub>-alkenylsulfonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl; aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C<sub>1</sub>-C<sub>4</sub>-alkyl which is unsubstituted or substituted once or many times, hetaryloxy-C<sub>1</sub>-C<sub>4</sub>-alkyl which is unsubstituted or substituted once or many times, aryloxycarbonyl which is unsubstituted or substituted once or many times, arylsulfonyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-



C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl;

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl; or

naphthyl or quinolyl which are unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>2</sub>-C<sub>4</sub>-alkenylthio, halo-C<sub>2</sub>-C<sub>4</sub>-alkenylthio, C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>4</sub>-alkylsulfonyl;

R<sub>8</sub> und R<sub>9</sub> independently of one another, signify hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl or aryl;

Y is C(O) or S(O)<sub>n</sub>;

a signifies 1 or 2;

m is 1, 2 or 3 and

n signifies 2;

(11) A compound of formula I, wherein

R<sub>1</sub> signifies halogen, cyano, nitro, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy or unsubstituted or substituted phenoxy, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, halo-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>4</sub>-alkoxy;

R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub>, independently of one another, signify hydrogen, halogen, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl;

R<sub>6</sub> signifies hydrogen, C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl or benzyl;

R<sub>7</sub> signifies phenyl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>3</sub>-C<sub>5</sub>-cycloalkyl, C<sub>1</sub>-C<sub>2</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>2</sub>-alkylthio, C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>2</sub>-alkoxycarbonyl; aryl-C<sub>1</sub>-C<sub>2</sub>-

alkyl which is unsubstituted or substituted once or many times, aryloxy which is unsubstituted or substituted once or many times, aryloxy-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, and pyridyloxy which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>1</sub>-C<sub>2</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>2</sub>-alkylthio, C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl; or

hetaryl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, nitro, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, halo-C<sub>2</sub>-C<sub>4</sub>-alkenyloxy, C<sub>1</sub>-C<sub>2</sub>-alkylthio, halo-C<sub>1</sub>-C<sub>2</sub>-alkylthio, C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl and halo-C<sub>1</sub>-C<sub>2</sub>-alkylsulfonyl;

R<sub>8</sub> and R<sub>9</sub>, independently of one another, signify hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

Y signifies C(O);

a signifies 1; and

m is 1 or 2;

(12) A compound of formula I, wherein

R<sub>1</sub> signifies halogen, cyano, nitro, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy or halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy;

R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub>, independently of one another, signify hydrogen, methyl or halomethyl;

R<sub>6</sub> signifies hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl;

R<sub>7</sub> signifies phenyl which is unsubstituted or substituted once or many times, whereby the substituents may be independent of one another and are selected from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy, halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy, C<sub>3</sub>-C<sub>5</sub>-cycloalkyl, C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>2</sub>-alkoxycarbonyl; aryl-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, and aryloxy-C<sub>1</sub>-C<sub>2</sub>-alkyl which is unsubstituted or substituted once or many times, whereby the substituents may each be independent of one another and are selected from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>2</sub>-alkyl, halo-C<sub>1</sub>-C<sub>2</sub>-alkyl, C<sub>1</sub>-C<sub>2</sub>-alkoxy and halo-C<sub>1</sub>-C<sub>2</sub>-alkoxy;

R<sub>8</sub> and R<sub>9</sub>, independently of one another, signify hydrogen or C<sub>1</sub>-C<sub>2</sub>-alkyl;

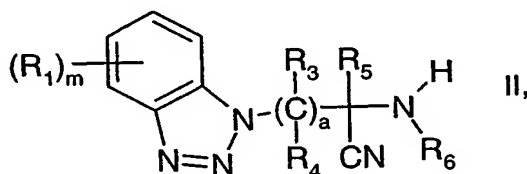
Y signifies C(O);

a signifies 1; and

m is 1 or 2.

Within the context of the invention, particular preference is given to the compounds of formula I listed in Table 1, and most particularly those named in the synthesis examples.

A further object of the invention is the process for the preparation of the compounds of formula I, respectively in free form or in salt form, for example characterised in that a compound of formula



which is known or may be produced analogously to corresponding known compounds, and wherein  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ , a and m are defined as given for formula I, is reacted with a compound of formula



which is known or may be prepared analogously to corresponding known compounds, and wherein Y and  $R_7$  are defined as given for formula I and Q is a leaving group, optionally in the presence of a basic catalyst, and if desired, a compound of formula I obtainable according to the method or in another way, respectively in free form or in salt form, is converted into another compound of formula I, a mixture of isomers obtainable according to the method is separated and the desired isomer isolated and/or a free compound of formula I obtainable according to the method is converted into a salt or a salt of a compound of formula I obtainable according to the method is converted into the free compound of formula I or into another salt.

What has been stated above for salts of compounds I also applies analogously to salts of the starting materials listed hereinabove and hereinbelow.

The reaction partners can be reacted with one another as they are, i.e. without the addition of a solvent or diluent, e.g. in the melt. In most cases, however, the addition of an inert solvent or diluent, or a mixture thereof, is of advantage. Examples of such solvents or diluents are: aromatic, aliphatic and alicyclic hydrocarbons and halogenated hydrocarbons, such as benzene, toluene, xylene, mesitylene, tetraline, chlorobenzene, dichlorobenzene, bromobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, trichloromethane,

tetrachloromethane, dichloroethane, trichloroethene or tetrachloroethene; ethers, such as diethyl ether, dipropyl ether, diisopropyl ether, dibutyl ether, tert-butyl methyl ether, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol dimethylether, dimethoxydiethylether, tetrahydrofuran or dioxane; ketones such as acetone, methyl ethyl ketone or methyl isobutyl ketone; amides such as N,N-dimethylformamide, N,N-diethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or hexamethylphosphoric acid triamide; nitriles such as acetonitrile or propionitrile; and sulfoxides, such as dimethyl sulfoxide.

Preferred leaving groups are halogens, especially chlorine.

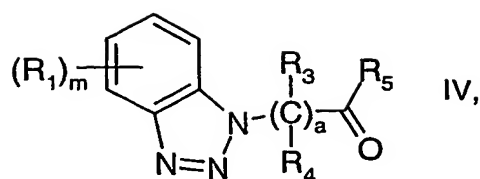
Suitable bases for facilitating the reaction are e.g. alkali metal or alkaline earth metal hydroxides, hydrides, amides, alkanolates, acetates, carbonates, dialkylamides or alkylsilylamides; alkylamines, alkylenediamines, optionally N-alkylated, optionally unsaturated, cycloalkylamines, basic heterocycles, ammonium hydroxides, as well as carbocyclic amines.

Those which may be mentioned by way of example are sodium hydroxide, hydride, amide, methanolate, acetate, carbonate, potassium tert.-butanolate, hydroxide, carbonate, hydride, lithium diisopropylamide, potassium bis(trimethylsilyl)-amide, calcium hydride, triethylamine, diisopropylethylamine, triethylenediamine, cyclohexylamine, N-cyclohexyl-N,N-dimethylamine, N,N-diethylaniline, pyridine, 4-(N,N-dimethylamino)pyridine, quinuclidine, N-methylmorpholine, benzyltrimethylammonium hydroxide, as well as 1,5-diazabicyclo[5.4.0]undec-5-ene (DBU). Preference is given to diisopropylethylamine and 4-(N,N-dimethylamino)pyridine.

The reaction advantageously takes place in a temperature range of ca. 0°C to ca. 100°C, preferably from ca. 10°C to ca. 40°C.

In a preferred process, a compound of formula II is reacted at room temperature in a halogenated hydrocarbon, preferably dichloromethane, with a compound of formula III in the presence of a base, preferably a mixture of diisopropylethylamine and 4-(N,N-dimethylamino)pyridine.

A further object of the invention is the process for the preparation of the compounds of formula II, respectively in free form or in salt form, for example characterised in that a compound of formula



which is known or may be produced analogously to corresponding known compounds, in which  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $a$  and  $m$  are defined as for formula I, is reacted with an inorganic or organic cyanide and a compound of formula  $R_6-NH_2$ , which is known or may be produced analogously to corresponding known compounds and wherein  $R_6$  is defined as for formula I, and if desired, a compound of formula II obtainable according to the method or in another way, respectively in free form or in salt form, is converted into another compound of formula II, a mixture of isomers obtainable according to the method is separated and the desired isomer isolated and/or a free compound of formula II obtainable according to the method is converted into a salt or a salt of a compound of formula II obtainable according to the method is converted into the free compound of formula II or into another salt.

Suitable cyanides are sodium cyanide, potassium cyanide, trimethylsilyl cyanide and acetone cyanohydrin.

The general method for reacting carbonyl compounds, e.g. of formula IV, with cyanides and amines, e.g. of formula  $R_6-NH_2$ , is a Strecker reaction, for example as in Organic Synthesis Coll. Vol. 3, 88 (1973).

Salts of compounds I may be produced in known manner. Acid addition salts of compounds I, for example, are obtainable by treatment with a suitable acid or a suitable ion exchange reagent, and salts with bases are obtainable by treatment with a suitable base or a suitable ion exchange reagent.

Salts of compounds I can be converted into the free compounds I by the usual means, acid addition salts e.g. by treating with a suitable basic composition or with a suitable ion exchange reagent, and salts with bases e.g. by treating with a suitable acid or a suitable ion exchange reagent.

Salts of compounds I can be converted into other salts of compounds I in a known manner; acid addition salts can be converted for example into other acid addition salts, e.g. by treating a salt of an inorganic acid, such as a hydrochloride, with a suitable metal salt, such as a sodium, barium, or silver salt, of an acid, e.g. with silver acetate, in a suitable solvent, in which a resulting inorganic salt, e.g. silver chloride, is insoluble and thus precipitates out

from the reaction mixture.

Depending on the method and/or reaction conditions, compounds I with salt-forming characteristics can be obtained in free form or in the form of salts.

Salts of compounds I may be produced in known manner. Acid addition salts of compounds I, for example, are obtainable by treatment with a suitable acid or a suitable ion exchange reagent, and salts with bases are obtainable by treatment with a suitable base or a suitable ion exchange reagent.

Salts of compounds I can be converted into the free compounds I by the usual means, acid addition salts e.g. by treating with a suitable basic composition or with a suitable ion exchange reagent, and salts with bases e.g. by treating with a suitable acid or a suitable ion exchange reagent.

Salts of compounds I can be converted into other salts of compounds I in a known manner; acid addition salts can be converted for example into other acid addition salts, e.g. by treating a salt of an inorganic acid, such as a hydrochloride, with a suitable metal salt, such as a sodium, barium, or silver salt, of an acid, e.g. with silver acetate, in a suitable solvent, in which a resulting inorganic salt, e.g. silver chloride, is insoluble and thus precipitates out from the reaction mixture.

Compounds I can also be obtained in the form of their hydrates and/or also can include other solvents, used for example where necessary for the crystallisation of compounds present in solid form.

Compounds I and II may be optionally present as optical and/or geometric isomers or as a mixture thereof. The invention relates both to the pure isomers and to all possible isomeric mixtures, and is hereinbefore and hereinafter understood as doing so, even if stereochemical details are not specifically mentioned in every case.

Diastereoisomeric mixtures of compounds I, which are obtainable by the process or in another way, may be separated in known manner, on the basis of the physical-chemical differences in their components, into the pure diastereoisomers, for example by fractional crystallisation, distillation and/or chromatography.

Splitting of mixtures of enantiomers, that are obtainable accordingly, into the pure isomers, may be achieved by known methods, for example by recrystallisation from an optically active solvent, by chromatography on chiral adsorbents, e.g. high-pressure liquid chromatography (HPLC) on acetyl cellulose, with the assistance of appropriate micro-organisms, by cleavage

with specific immobilised enzymes, through the formation of inclusion compounds, e.g. using chiral crown ethers, whereby only one enantiomer is complexed.

According to the invention, apart from separation of corresponding isomer mixtures, generally known methods of diastereoselective or enantioselective synthesis can also be applied to obtain pure diastereoisomers or enantiomers, e.g. by carrying out the method of the invention using educts with correspondingly suitable stereochemistry.

It is advantageous to isolate or synthesise the biologically more active isomer, e.g. enantiomer, or isomer mixture, e.g. enantiomer mixture, provided that the individual components have differing biological efficacy.

In the method of the present invention, the starting materials and intermediates used are preferably those that lead to the compounds I described at the beginning as being especially useful.

The invention relates especially to the method of preparation described in the example.

Starting materials and intermediates, which are new and are used according to the invention for the preparation of compounds I, as well as their usage and process for the preparation thereof, similarly form an object of the invention.

The compounds I according to the invention are notable for their particularly broad activity spectrum and are valuable active ingredients for use in pest control, including in particular the control of endo- and ecto-parasites on animals, whilst being well-tolerated by warm-blooded animals, fish and plants,

In the context of the present invention, ectoparasites are understood to be in particular insects, mites and ticks. These include insects of the order: *Lepidoptera*, *Coleoptera*, *Homoptera*, *Heteroptera*, *Diptera*, *Thysanoptera*, *Orthoptera*, *Anoplura*, *Siphonaptera*, *Mallophaga*, *Thysanura*, *Isoptera*, *Psocoptera* and *Hymenoptera*. However, the ectoparasites which may be mentioned in particular are those which trouble humans or animals and carry pathogens, for example flies such as *Musca domestica*, *Musca vetustissima*, *Musca autumnalis*, *Fannia canicularis*, *Sarcophaga carnaria*, *Lucilia cuprina*, *Hypoderma bovis*, *Hypoderma lineatum*, *Chrysomya chloropyga*, *Dermatobia hominis*, *Cochliomyia hominivorax*, *Gasterophilus intestinalis*, *Oestrus ovis*, *Stomoxys calcitrans*, *Haematobia irritans* and midges (*Nematocera*), such as *Culicidae*, *Simuliidae*, *Psychodidae*, but also blood-sucking parasites, for example fleas, such as *Ctenocephalides felis* and *Ctenocephalides canis* (cat and dog fleas), *Xenopsylla cheopis*, *Pulex irritans*,

*Dermatophilus penetrans*, lice, such as *Damalina ovis*, *Pediculus humanis*, biting flies and horse-flies (*Tabanidae*), *Haematopota* spp. such as *Haematopota pluvialis*, *Tabanidea* spp. such as *Tabanus nigrovittatus*, *Chrysopsinae* spp. such as *Chrysops caecutiens*, tsetse flies, such as species of *Glossinia*, biting insects, particularly cockroaches, such as *Blatella germanica*, *Blatta orientalis*, *Periplaneta americana*, mites, such as *Dermanyssus gallinae*, *Sarcoptes scabiei*, *Psoroptes ovis* and *Psorergates* spp. and last but not least ticks. The latter belong to the order *Acarina*. Known representatives of ticks are, for example, *Boophilus*, *Amblyomma*, *Anocentor*, *Dermacentor*, *Haemaphysalis*, *Hyalomma*, *Ixodes*, *Rhipicentor*, *Margaropus*, *Rhipicephalus*, *Argas*, *Otobius* and *Ornithodoros* and the like, which preferably infest warm-blooded animals including farm animals, such as cattle, pigs, sheep and goats, poultry such as chickens, turkeys and geese, fur-bearing animals such as mink, foxes, chinchillas, rabbits and the like, as well as domestic animals such as cats and dogs, but also humans.

Compounds I can also be used against hygiene pests, especially of the order *Diptera* of the families *Sarcophagidae*, *Anophilidae* and *Culicidae*; the orders *Orthoptera*, *Dictyoptera* (e.g. the family *Blattidae*) and *Hymenoptera* (e.g. the family *Formicidae*).

Compounds I also have sustainable efficacy on parasitic mites and insects of plants. In the case of spider mites of the order *Acarina*, they are effective against eggs, nymphs and adults of *Tetranychidae* (*Tetranychus* spp. and *Panonychus* spp.).

They have high activity against sucking insects of the order *Homoptera*, especially against pests of the families *Aphididae*, *Delphacidae*, *Cicadellidae*, *Psyllidae*, *Loccidae*, *Diaspididae* and *Eriophyidae* (e.g. rust mite on citrus fruits); the orders *Hemiptera*, *Heteroptera* and *Thysanoptera*, and on the plant-eating insects of the orders *Lepidoptera*, *Coleoptera*, *Diptera* and *Orthoptera*

They are similarly suitable as a soil insecticide against pests in the soil.

The compounds of formula I are therefore effective against all stages of development of sucking insects and eating insects on crops such as cereals, cotton, rice, maize, soya, potatoes, vegetables, fruit, tobacco, hops, citrus, avocados and other crops.

The compounds of formula I are also effective against plant nematodes of the species *Meloidogyne*, *Heterodera*, *Pratylenchus*, *Ditylenchus*, *Radopholus*, *Rizoglyphus* etc.

In particular, the compounds are effective against helminths, in which the endoparasitic nematodes and trematodes may be the cause of serious diseases of mammals and poultry,



e.g. sheep, pigs, goats, cattle, horses, donkeys, dogs, cats, guinea-pigs and exotic birds. Typical nematodes of this indication are: *Haemonchus*, *Trichostrongylus*, *Ostertagia*, *Nematodirus*, *Cooperia*, *Ascaris*, *Bunostomum*, *Oesophagostomum*, *Charbertia*, *Trichuris*, *Strongylus*, *Trichonema*, *Dictyocaulus*, *Capillaria*, *Heterakis*, *Toxocara*, *Ascaridia*, *Oxyuris*, *Ancylostoma*, *Uncinaria*, *Toxascaris* and *Parascaris*. The trematodes include, in particular, the family of *Fasciolidae*, especially *Fasciola hepatica*. The particular advantage of the compounds of formula I is their efficacy against those parasites that are resistant towards active ingredients based on benzimidazole.

Certain pests of the species *Nematodirus*, *Cooperia* and *Oesophagostomum* infest the intestinal tract of the host animal, while others of the species *Haemonchus* and *Ostertagia* are parasitic in the stomach and those of the species *Dictyocaulus* are parasitic in the lung tissue. Parasites of the families *Filariidae* and *Setariidae* may be found in the internal cell tissue and in the organs, e.g. the heart, the blood vessels, the lymph vessels and the subcutaneous tissue. A particularly notable parasite is the heartworm of the dog, *Dirofilaria immitis*. The compounds of formula I are highly effective against these parasites.

Furthermore, the compounds of formula I are suitable for the control of human pathogenic parasites. Of these, typical representatives that appear in the digestive tract are those of the species *Ancylostoma*, *Necator*, *Ascaris*, *Strongyloides*, *Trichinella*, *Capillaria*, *Trichuris* and *Enterobius*. The compounds of the present invention are also effective against parasites of the species *Wuchereria*, *Brugia*, *Onchocerca* and *Loa* from the family of *Filariidae*, which appear in the blood, in the tissue and in various organs, and also against *Dracunculus* and parasites of the species *Strongyloides* and *Trichinella*, which infect the gastrointestinal tract in particular.

Finally, the compounds of formula I also have fungicidal and bactericidal activity.

The good pesticidal activity of the compounds of formula I according to the invention corresponds to a mortality rate of at least 50-60% of the pests mentioned. In particular, the compounds of formula I are notable for the exceptionally long duration of efficacy.

The compounds of formula I are preferably employed in unmodified form or preferably together with the adjuvants conventionally used in the art of formulation and may therefore be processed in a known manner to give, for example, emulsifiable concentrates, directly dilutable solutions, dilute emulsions, soluble powders, granules or microencapsulations in polymeric substances. As with the compositions, the methods of application are selected in accordance with the intended objectives and the prevailing circumstances.

The formulation, i.e. the agents, preparations or compositions containing the active ingredient of formula I, or combinations of these active ingredients with other active ingredients, and optionally a solid or liquid adjuvant, are produced in a manner known *per se*, for example by intimately mixing and/or grinding the active ingredients with spreading compositions, for example with solvents, solid carriers, and optionally surface-active compounds (surfactants).

The solvents in question may be: alcohols, such as ethanol, propanol or butanol, and glycols and their ethers and esters, such as propylene glycol, dipropylene glycol ether, ethylene glycol, ethylene glycol monomethyl or -ethyl ether, ketones, such as cyclohexanone, isophorone or diacetanol alcohol, strong polar solvents, such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or dimethylformamide, or water, vegetable oils, such as rape, castor, coconut, or soybean oil, and also, if appropriate, silicone oils.

Preferred application forms for usage on warm-blooded animals in the control of helminths include solutions, emulsions, suspensions (drenches), food additives, powders, tablets including effervescent tablets, boli, capsules, micro-capsules and pour-on formulations, whereby the physiological compatibility of the formulation excipients must be taken into consideration.

The binders for tablets and boli may be chemically modified polymeric natural substances that are soluble in water or in alcohol, such as starch, cellulose or protein derivatives (e.g. methyl cellulose, carboxymethyl cellulose, ethylhydroxyethyl cellulose, proteins such as zein, gelatin and the like), as well as synthetic polymers, such as polyvinyl alcohol, polyvinyl pyrrolidone etc. The tablets also contain fillers (e.g. starch, microcrystalline cellulose, sugar, lactose etc.), glidants and disintegrants.

If the anthelmintics are present in the form of feed concentrates, then the carriers used are e.g. performance feeds, feed grain or protein concentrates. Such feed concentrates or compositions may contain, apart from the active ingredients, also additives, vitamins, antibiotics, chemotherapeutics or other pesticides, primarily bacteriostats, fungistats, coccidiostats, or even hormone preparations, substances having anabolic action or substances which promote growth, which affect the quality of meat of animals for slaughter or which are beneficial to the organism in another way. If the compositions or the active ingredients of formula I contained therein are added directly to feed or to the drinking troughs, then the formulated feed or drink contains the active ingredients preferably in a concentration of ca. 0.0005 to 0.02 % by weight (5-200 ppm).

The compounds of formula I according to the invention may be used alone or in combination with other biocides. They may be combined with pesticides having the same sphere of activity e.g. to increase activity, or with substances having another sphere of activity e.g. to broaden the range of activity. It can also be sensible to add so-called repellents. If the range of activity is to be extended to endoparasites, e.g. wormers, the compounds of formula I are suitably combined with substances having endoparasitic properties. Of course, they can also be used in combination with antibacterial compositions. Since the compounds of formula I are adulticides, i.e. since they are effective in particular against the adult stages of the target parasites, the addition of pesticides which instead attack the juvenile stages of the parasites may be very advantageous. In this way, the greatest part of those parasites that produce great economic damage will be covered. Moreover, this action will contribute substantially to avoiding the formation of resistance. Many combinations may also lead to synergistic effects, i.e. the total amount of active ingredient can be reduced, which is desirable from an ecological point of view. Preferred groups of combination partners and especially preferred combination partners are named in the following, whereby combinations may contain one or more of these partners in addition to a compound of formula I.

Suitable partners in the mixture may be biocides, e.g. the insecticides and acaricides with a varying mechanism of activity, which are named in the following and have been known to the person skilled in the art for a long time, e.g. chitin synthesis inhibitors, growth regulators; active ingredients which act as juvenile hormones; active ingredients which act as adulticides; broad-band insecticides, broad-band acaricides and nematocides; and also the well known anthelmintics and insect- and/or acarid-deterring substances, said repellents or detachers.

Non-limitative examples of suitable insecticides and acaricides are:

|                           |
|---------------------------|
| 1. Abamectin              |
| 2. AC 303 630             |
| 3. Acephat                |
| 4. Acrinathrin            |
| 5. Alanycarb              |
| 6. Aldicarb               |
| 7. $\alpha$ -Cypermethrin |
| 8. Alphamethrin           |
| 9. Amitraz                |

|                                   |
|-----------------------------------|
| 10. Avermectin B <sub>1</sub>     |
| 11. AZ 60541                      |
| 12. Azinphos A                    |
| 13. Azinphos M                    |
| 14. Azinphos-methyl               |
| 15. Azocyclotin                   |
| 16. <i>Bacillus subtil.</i> toxin |
| 17. Bendiocarb                    |
| 18. Benfuracarb                   |

|                         |
|-------------------------|
| 19. Bensultap           |
| 20. $\beta$ -Cyfluthrin |
| 21. Bifenthrin          |
| 22. BPMC                |
| 23. Brofenprox          |
| 24. Bromophos A         |
| 25. Bufencarb           |
| 26. Buprofezin          |
| 27. Butocarboxin        |

|                      |
|----------------------|
| 28. Butylpyridaben   |
| 29. Cadusafos        |
| 30. Carbaryl         |
| 31. Carbofuran       |
| 32. Carbophenthion   |
| 33. Cartap           |
| 34. Chloethocarb     |
| 35. Chlorethoxyfos   |
| 36. Chlorfenapyr     |
| 37. Chlorfluazuron   |
| 38. Chlormephos      |
| 39. Chlorpyrifos     |
| 40. Cis-Resmethrin   |
| 41. Clocythrin       |
| 42. Clofentezin      |
| 43. Cyanophos        |
| 44. Cycloprothrin    |
| 45. Cyfluthrin       |
| 46. Cyhexatin        |
| 47. D 2341           |
| 48. Deltamethrin     |
| 49. Demeton M        |
| 50. Demeton S        |
| 51. Demeton-S-methyl |
| 52. Dibutylaminothio |
| 53. Dichlofenthion   |
| 54. Dicliphos        |
| 55. Diethion         |
| 56. Diflubenzuron    |
| 57. Dimethoat        |
| 58. Dimethylvinphos  |
| 59. Dioxathion       |
| 60. DPX-MP062        |

|                    |
|--------------------|
| 61. Edifenphos     |
| 62. Enamectin      |
| 63. Endosulfan     |
| 64. Esfenvalerat   |
| 65. Ethiofencarb   |
| 66. Ethion         |
| 67. Ethofenprox    |
| 68. Ethoprophos    |
| 69. Etrimphos      |
| 70. Fenamiphos     |
| 71. Fenazaquin     |
| 72. Fenbutatinoxid |
| 73. Fenitrothion   |
| 74. Fenobucarb     |
| 75. Fenothiocarb   |
| 76. Fenoxycarb     |
| 77. Fenpropathrin  |
| 78. Fenpyrad       |
| 79. Fenpyroximate  |
| 80. Fenthion       |
| 81. Fenvalerate    |
| 82. Fipronil       |
| 83. Fluazinam      |
| 84. Fluazuron      |
| 85. Flucycloxuron  |
| 86. Flucythrinat   |
| 87. Flufenoxuron   |
| 88. Flufenprox     |
| 89. Fonophos       |
| 90. Formothion     |
| 91. Fosthiazat     |
| 92. Fubfenprox     |
| 93. HCH            |

|                                 |
|---------------------------------|
| 94. Heptenophos                 |
| 95. Hexaflumuron                |
| 96. Hexythiazox                 |
| 97. Hydroprene                  |
| 98. Imidacloprid                |
| 99. insect-active fungi         |
| 100. insect-active<br>nematodes |
| 101. insect-active viruses      |
| 102. Iprobenfos                 |
| 103. Isofenphos                 |
| 104. Isoprocab                  |
| 105. Isoxathion                 |
| 106. Ivermectin                 |
| 107. $\lambda$ -Cyhalothrin     |
| 108. Lufenuron                  |
| 109. Malathion                  |
| 110. Mecarbam                   |
| 111. Mesulfenphos               |
| 112. Metaldehyd                 |
| 113. Methamidophos              |
| 114. Methiocarb                 |
| 115. Methomyl                   |
| 116. Methoprene                 |
| 117. Metolcarb                  |
| 118. Mevinphos                  |
| 119. Milbemectin                |
| 120. Moxidectin                 |
| 121. Naled                      |
| 122. NC 184                     |
| 123. NI-25, Acetamiprid         |
| 124. Nitenpyram                 |
| 125. Omethoat                   |

|                       |                        |                                       |
|-----------------------|------------------------|---------------------------------------|
| 126. Oxamyl           | 148. Pyrethrum         | 170. Thiodicarb                       |
| 127. Oxydemethon M    | 149. Pyridaben         | 171. Thiofanox                        |
| 128. Oxydeprofos      | 150. Pyrimidifen       | 172. Thionazin                        |
| 129. Parathion        | 151. Pyriproxyfen      | 173. Thuringiensin                    |
| 130. Parathion-methyl | 152. RH 5992           | 174. Tralomethrin                     |
| 131. Permethrin       | 153. RH-2485           | 175. Triarthen                        |
| 132. Phenthoat        | 154. Salithion         | 176. Triazamate                       |
| 133. Phorat           | 155. Sebufos           | 177. Triazophos                       |
| 134. Phosalone        | 156. Silafluofen       | 178. Triazuron                        |
| 135. Phosmet          | 157. Spinosad          | 179. Trichlorfon                      |
| 136. Phoxim           | 158. Sulfotep          | 180. Triflumuron                      |
| 137. Pirimicarb       | 159. Sulprofos         | 181. Trimethacarb                     |
| 138. Pirimiphos A     | 160. Tebufenozide      | 182. Vamidothion                      |
| 139. Pirimiphos M     | 161. Tebufenpyrad      | 183. XMC (3,5,-xylyl-methylcarbamate) |
| 140. Promecarb        | 162. Tebupirimphos     | 184. Xylylcarb                        |
| 141. Propaphos        | 163. Teflubenzuron     | 185. YI 5301/5302                     |
| 142. Propoxur         | 164. Tefluthrin        | 186. $\zeta$ -Cypermethrin            |
| 143. Prothiofos       | 165. Temephos          | 187. Zetamethrin                      |
| 144. Prothoat         | 166. Terbam            |                                       |
| 145. Pyrachlophos     | 167. Terbufos          |                                       |
| 146. Pyradaphenthion  | 168. Tetrachlorvinphos |                                       |
| 147. Pyresmethrin     | 169. Thiafenox         |                                       |

Non-limitative examples of suitable anthelmintics are named in the following, a few representatives have insecticidal and acaricidal activity in addition to the anthelmintic activity, and are partly already in the above list.

(A1) Praziquantel = 2-cyclohexylcarbonyl-4-oxo-1,2,3,6,7,11b-hexahydro-4H-pyrazino[2,1- $\alpha$ ]isoquinoline

(A2) Closantel = 3,5-diiodo-N-[5-chloro-2-methyl-4-( $\alpha$ -cyano-4-chlorobenzyl)phenyl]-salicylamide

(A3) Triclabendazole = 5-chloro-6-(2,3-dichlorophenoxy)-2-methylthio-1H-benzimidazole

(A4) Levamisole = L-(-)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1b]thiazole

(A5) Mebendazole = (5-benzoyl-1H-benzimidazol-2-yl)carbaminoic acid methylester

(A6) Omphalotin = a macrocyclic fermentation product of the fungus *Omphalotus olearius* described in WO 97/20857

(A7) Abamectin = avermectin B1

(A8) Ivermectin = 22,23-dihydroavermectin B1

(A9) Moxidectin = 5-O-demethyl-28-deoxy-25-(1,3-dimethyl-1-butenyl)-6,28- epoxy-23-(methoxyimino)-milbemycin B

(A10) Doramectin = 25-cyclohexyl-5-O-demethyl-25-de(1-methylpropyl)-avermectin A1a

(A11) Milbemectin = mixture of milbemycin A3 and milbemycin A4

(A12) Milbemycinoxim = 5-oxime of milbemectin

Non-limitative examples of suitable repellents and detachers are:

(R1) DEET (N, N-diethyl-m-toluamide)

(R2) KBR 3023 N-butyl-2-oxycarbonyl-(2-hydroxy)-piperidine

(R3) Cymiazole = N,-2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene-2,4-xylydene

The said partners in the mixture are best known to specialists in this field. Most are described in various editions of the Pesticide Manual, The British Crop Protection Council, London, and others in the various editions of The Merck Index, Merck & Co., Inc., Rahway, New Jersey, USA or in patent literature. Therefore, the following listing is restricted to a few places where they may be found by way of example.

(I) 2-Methyl-2-(methylthio)propionaldehyde-O-methylcarbamoyloxime (Aldicarb), from The Pesticide Manual, 11<sup>th</sup> Ed. (1997), The British Crop Protection Council, London, page 26;

(II) S-(3,4-dihydro-4-oxobenzo[d]-[1,2,3]-triazin-3-ylmethyl)O,O-dimethyl-phosphorodithioate (Azinphos-methyl), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 67;

(III) Ethyl-N-[2,3-dihydro-2,2-dimethylbenzofuran-7-yloxycarbonyl-(methyl)aminothio]-N-isopropyl-β-alaninate (Benfuracarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 96;

(IV) 2-Methylbiphenyl-3-ylmethyl-(Z)-(1*RS*)-*cis*-3-(2-chloro-3,3,3-trifluoroprop-1-enyl)-2,2-dimethylcyclopropanecarboxylate (Bifenthrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 118;

(V) 2-tert-butylimino-3-isopropyl-5-phenyl-1,3,5-thiadiazian-4-one (Buprofezin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 157;

(VI) 2,3-Dihydro-2,2-dimethylbenzofuran-7-yl-methylcarbamate (Carbofuran), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 186;

- (VII) 2,3-Dihydro-2,2-dimethylbenzofuran-7-yl-(dibutylaminothio)methylcarbamate (Carbosulfan), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 188;
- (VIII) *S,S'*-(2-dimethylaminotrimethylene)-bis(thiocarbamate) (Cartap), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 193;
- (IX) 1-[3,5-Dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)-urea (Chlorfluazuron), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 213;
- (X) *O,O*-diethyl-*O*-3,5,6-trichloro-2-pyridyl-phosphorothioate (Chlorpyrifos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 235;
- (XI) (*RS*)- $\alpha$ -cyano-4-fluoro-3-phenoxybenzyl-(1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (Cyfluthrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 293;
- (XII) Mixture of (*S*)- $\alpha$ -cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)- $\alpha$ -cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2-chloro-3,3,3-trifluoropropenyl)-2,2-dimethylcyclopropanecarboxylate (Lambda-Cyhalothrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 300;
- (XIII) Racemate consisting of (*S*)- $\alpha$ -cyano-3-phenoxybenzyl-(*Z*)-(1*R*,3*R*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate and (*R*)- $\alpha$ -cyano-3-phenoxybenzyl-(1*S*,3*S*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (Alpha-cypermethrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 308;
- (XIV) a mixture of the stereoisomers of (*S*)- $\alpha$ -cyano-3-phenoxybenzyl (1*RS*,3*RS*,-1*RS*,3*RS*)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (zeta-Cypermethrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 314;
- (XV) (*S*)- $\alpha$ -cyano-3-phenoxybenzyl-(1*R*,3*R*)-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropanecarboxylate (Deltamethrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 344;
- (XVI) (4-chlorophenyl)-3-(2,6-difluorobenzoyl)urea (Diflubenzuron), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 395;

- (XVII) (1,4,5,6,7,7-Hexachloro-8,9,10-trinorborn-5-en-2,3-ylenebismethylene)-sulphite (Endosulfan), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 459;
- (XVIII)  $\alpha$ -ethylthio-o-tolyl-methylcarbamate (Ethiofencarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 479;
- (XIX) *O,O*-dimethyl-*O*-4-nitro-*m*-tolyl-phosphorothioate (Fenitrothion), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 514;
- (XX) 2-*sec*-butylphenyl-methylcarbamate (Fenobucarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 516;
- (XXI) (*RS*)- $\alpha$ -cyano-3-phenoxybenzyl-(*RS*)-2-(4-chlorophenyl)-3-methylbutyrate (Fenvalerate), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 539;
- (XXII) *S*-[formyl(methyl)carbamoylmethyl]-*O,O*-dimethyl-phosphorodithioate (Formothion), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 625;
- (XXIII) 4-Methylthio-3,5-xylyl-methylcarbamate (Methiocarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 813;
- (XXIV) 7-Chlorobicyclo[3.2.0]hepta-2,6-dien-6-yl-dimethylphosphate (Heptenophos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 670;
- (XXV) 1-(6-chloro-3-pyridylmethyl)-*N*-nitroimidazolidin-2-ylidenamine (Imidacloprid), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 706;
- (XXVI) 2-isopropylphenyl-methylcarbamate (Isoprocab), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 729;
- (XXVII) *O,S*-dimethyl-phosphoramidothioate (Methamidophos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 808;
- (XXVIII) *S*-Methyl-*N*-(methylcarbamoyloxy)thioacetimidate (Methomyl), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 815;
- (XXIX) Methyl-3-(dimethoxyphosphinoyloxy)but-2-enoate (Mevinphos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 844;
- (XXX) *O,O*-diethyl-*O*-4-nitrophenyl-phosphorothioate (Parathion), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 926;



- (XXXI) *O,O*-dimethyl-*O*-4-nitrophenyl-phosphorothioate (Parathion-methyl), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 928;
- (XXXII) *S*-6-chloro-2,3-dihydro-2-oxo-1,3-benzoxazol-3-ylmethyl-*O,O*-diethyl-phosphorodithioate (Phosalone), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 963;
- (XXXIII) 2-Dimethylamino-5,6-dimethylpyrimidin-4-yl-dimethylcarbamate (Pirimicarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 985;
- (XXXIV) 2-isopropoxyphenyl-methylcarbamate (Propoxur), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1036;
- (XXXV) 1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-difluorobenzoyl)urea (Teflubenzuron), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1158;
- (XXXVI) *S*-tert-butylthiomethyl-*O,O*-dimethyl-phosphorodithioate (Terbufos), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1165;
- (XXXVII) ethyl-(3-*tert*.-butyl-1-dimethylcarbamoyl-1*H*-1,2,4-triazol-5-yl-thio)-acetate, (Triazamate), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1224;
- (XXXVIII) Abamectin, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 3;
- (XXXIX) 2-*sec*-butylphenyl-methylcarbamate (Fenobucarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 516;
- (XL) *N*-*tert*.-butyl-*N*-(4-ethylbenzoyl)-3,5-dimethylbenzohydrazide (Tebufenozide), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1147;
- (XLI) (±)-5-amino-1-(2,6-dichloro- $\alpha,\alpha,\alpha$ -trifluoro-*p*-tolyl)-4-trifluoromethyl-sulphinylpyrazol-3-carbonitrile (Fipronil), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 545;
- (XLII) (*RS*)- $\alpha$ -cyano-4-fluoro-3-phenoxybenzyl(1*RS*,3*RS*;1*RS*,3*RS*)-3-(2,2-dichloro-vinyl)-2,2-dimethylcyclopropanecarboxylate (beta-Cyfluthrin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 295;

- (XLIII) (4-ethoxyphenyl)-[3-(4-fluoro-3-phenoxyphenyl)propyl](dimethyl)silane (Silafluofen), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1105;
- (XLIV) *tert*-butyl (*E*)- $\alpha$ -(1,3-dimethyl-5-phenoxy-pyrazol-4-yl-methylenamino-oxy)-p-toluate (Fenpyroximate), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 530;
- (XLV) 2-*tert*-butyl-5-(4-*tert*-butylbenzylthio)-4-chloropyridazin-3(2*H*)-one (Pyridaben), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1161;
- (XLVI) 4-[[4-(1,1-dimethylphenyl)phenyl]ethoxy]-quinazoline (Fenazaquin), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 507;
- (XLVII) 4-phenoxyphenyl-(*RS*)-2-(pyridyloxy)propyl-ether (Pyriproxyfen), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1073;
- (XLVIII) 5-chloro-*N*-(2-[4-(2-ethoxyethyl)-2,3-dimethylphenoxy]ethyl)-6-ethylpyrimidine-4-amine (Pyrimidifen), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1070;
- (XLIX) (*E*)-*N*-(6-chloro-3-pyridylmethyl)-*N*-ethyl-*N*-methyl-2-nitrovinylidenediamine (Nitenpyram), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 880;
- (L) (*E*)-*N*<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-*N*<sup>2</sup>-cyano-*N*<sup>1</sup>-methylacetamidine (NI-25, Acetamiprid), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 9;
- (LI) Avermectin B<sub>1</sub>, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 3;
- (LII) an insect-active extract from a plant, especially (2*R*,6*aS*,12*aS*)-1,2,6,6*a*,12,12*a*-hexhydro-2-isopropenyl-8,9-dimethoxy-chromeno[3,4-*b*]furo[2,3-*h*]chromen-6-one (Rotenone), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1097; and an extract from *Azadirachta indica*, especially azadirachtin, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 59; and
- (LIII) a preparation which contains insect-active nematodes, preferably *Heterorhabditis bacteriophora* and *Heterorhabditis megidis*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 671; *Steinernema feltiae*, from The

Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1115 and *Steinernema scapterisci*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1116;

(LIV) a preparation obtainable from *Bacillus subtilis*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 72; or from a strain of *Bacillus thuringiensis* with the exception of compounds isolated from GC91 or from NCTC11821; The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 73;

(LV) a preparation which contains insect-active fungi, preferably *Verticillium lecanii*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1266; *Beauveria brogniartii*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 85 and *Beauveria bassiana*, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 83;

(LVI) a preparation which contains insect-active viruses, preferably *Neodiprion Sertifer* NPV, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1342; *Mamestra brassicae* NPV, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 759 and *Cydia pomonella* *granulosis* virus, from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 291;

(CLXXXI) 7-chloro-2,3,4a,5-tetrahydro-2-[methoxycarbonyl(4-trifluoromethoxyphenyl)-carbamoyl]indol[1,2e]oxazoline-4a-carboxylate (DPX-MP062, Indoxycarb), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 453;

(CLXXXII) *N*-tert.-butyl-*N'*-(3,5-dimethylbenzoyl)-3-methoxy-2-methylbenzohydrazide (RH-2485, Methoxyfenozide), from The Pesticide Manual, 11<sup>th</sup>Ed. (1997), The British Crop Protection Council, London, page 1094; and

(CLXXXIII) (*N'*-[4-methoxy-biphenyl-3-yl]-hydrazinecarboxylic acid isopropylester (D 2341), from Brighton Crop Protection Conference, 1996, 487- 493;

(R2) Book of Abstracts, 212th ACS National Meeting Orlando, FL, August 25-29 (1996), AGRO-020. Publisher: American Chemical Society, Washington, D.C. CONEN: 63BFAF.

As a consequence of the above details, a further essential aspect of the present invention relates to combination preparations for the control of parasites on warm-blooded animals, characterised in that they contain, in addition to a compound of formula I, at least one further active ingredient having the same or different sphere of activity and at least one

physiologically acceptable carrier. The present invention is not restricted to two-fold combinations.

As a rule, the anthelmintic compositions according to the invention contain 0.1 to 99 % by weight, especially 0.1 to 95 % by weight of active ingredient of formula I, Ia or mixtures thereof, 99.9 to 1 % by weight, especially 99.8 to 5 % by weight of a solid or liquid admixture, including 0 to 25 % by weight, especially 0.1 to 25 % by weight of a surfactant.

Application of the compositions according to the invention to the animals to be treated may take place topically, perorally, parenterally or subcutaneously, the composition being present in the form of solutions, emulsions, suspensions, (drenches), powders, tablets, boli, capsules and pour-on formulations.

The pour-on or spot-on method consists in applying the compound of formula I to a specific location of the skin or coat, advantageously to the neck or backbone of the animal. This takes place e.g. by applying a swab or spray of the pour-on or spot-on formulation to a relatively small area of the coat, from where the active substance is dispersed almost automatically over wide areas of the fur owing to the spreading nature of the components in the formulation and assisted by the animal's movements.

Pour-on or spot-on formulations suitably contain carriers, which promote rapid dispersement over the skin surface or in the coat of the host animal, and are generally regarded as spreading oils. Suitable carriers are e.g. oily solutions; alcoholic and isopropanolic solutions such as solutions of 2-octyldodecanol or oleyl alcohol; solutions in esters of monocarboxylic acids, such as isopropyl myristate, isopropyl palmitate, lauric acid oxalate, oleic acid oleyl ester, oleic acid decyl ester, hexyl laurate, oleyl oleate, decyl oleate, capric acid esters of saturated fat alcohols of chain length  $C_{12}$ - $C_{18}$ ; solutions of esters of dicarboxylic acids, such as dibutyl phthalate, diisopropyl isophthalate, adipic acid diisopropyl ester, di-n-butyl adipate or also solutions of esters of aliphatic acids, e.g. glycols. It may be advantageous for a dispersing agent to be additionally present, such as one known from the pharmaceutical or cosmetic industry. Examples are 2-pyrrolidone, 2-(N-alkyl)pyrrolidone, acetone, polyethylene glycol and the ethers and esters thereof, propylene glycol or synthetic triglycerides.

The oily solutions include e.g. vegetable oils such as olive oil, groundnut oil, sesame oil, pine oil, linseed oil or castor oil. The vegetable oils may also be present in epoxidised form. Paraffins and silicone oils may also be used.

A pour-on or spot-on formulation generally contains 1 to 20 % by weight of a compound of formula I, 0.1 to 50 % by weight of dispersing agent and 45 to 98.9 % by weight of solvent.

The pour-on or spot-on method is especially advantageous for use on herd animals such as cattle, horses, sheep or pigs, in which it is difficult or time-consuming to treat all the animals orally or by injection. Because of its simplicity, this method can of course also be used for all other animals, including individual domestic animals or pets, and is greatly favoured by the keepers of the animals, as it can often be carried out without the specialist presence of the veterinarian.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

Such compositions may also contain further additives, such as stabilisers, anti-foaming agents, viscosity regulators, binding agents or tackifiers, as well as other active ingredients, in order to achieve special effects.

Anthelmintic compositions of this type, which are used by the end user, similarly form a constituent of the present invention.

In each of the processes according to the invention for pest control or in each of the pest control compositions according to the invention, the active ingredients of formula I can be used in all of their steric configurations or in mixtures thereof.

The invention also includes a method of prophylactically protecting warm-blooded animals, especially productive livestock, domestic animals and pets, against parasitic helminths, which is characterised in that the active ingredients of the formula or the active ingredient formulations prepared therefrom are administered to the animals as an additive to the feed, or to the drinks or also in solid or liquid form, orally or by injection or parenterally. The invention also includes the compounds of formula I according to the invention for usage in one of the said processes.

The following examples serve merely to illustrate the invention without restricting it, the term active ingredient representing a substance listed in tables...

In particular, preferred formulations are made up as follows:

(% = percent by weight)

#### Formulation examples

##### 1. Granulate

a)      b)

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|                               |      |      |
|-------------------------------|------|------|
| active ingredient             | 5 %  | 10 % |
| kaolin                        | 94 % | -    |
| highly dispersed silicic acid | 1 %  | -    |
| attapulgit                    | -    | 90 % |

The active ingredient is dissolved in methylene chloride, sprayed onto the carrier and the solvent subsequently concentrated by evaporation under vacuum. Granulates of this kind can be mixed with the animal feed.

## 2. Granulate

|                              |      |
|------------------------------|------|
| active ingredient            | 3 %  |
| polyethylene glycol (mw 200) | 3 %  |
| kaolin                       | 94 % |

(mw = molecular weight)

The finely ground active ingredient is evenly applied in a mixer to the kaolin which has been moistened with polyethylene glycol. In this way, dust-free coated granules are obtained.

## 3. Tablets or boli

|    |                                |         |
|----|--------------------------------|---------|
| I  | active ingredient              | 33.00 % |
|    | methylcellulose                | 0.80 %  |
|    | silicic acid, highly dispersed | 0.80 %  |
|    | corn starch                    | 8.40 %  |
| II | lactose, cryst.                | 22.50 % |
|    | corn starch                    | 17.00 % |
|    | microcryst. cellulose          | 16.50 % |
|    | magnesium stearate             | 1.00 %  |

I Methyl cellulose is stirred into water. After the material has swollen, silicic acid is stirred in and the mixture homogeneously suspended. The active ingredient and the corn starch are mixed. The aqueous suspension is worked into this mixture and kneaded to a dough. The resulting mass is granulated through a 12 M sieve and dried.

II All 4 excipients are mixed thoroughly.

III The preliminary mixes obtained according to I and II are mixed and pressed into tablets or boli.

## 4. Injectables

**A. Oily vehicle (slow release)**

- |                      |           |
|----------------------|-----------|
| 1. active ingredient | 0.1-1.0 g |
| groundnut oil        | ad 100 ml |
| 2. active ingredient | 0.1-1.0 g |
| sesame oil           | ad 100 ml |

Preparation: The active ingredient is dissolved in part of the oil whilst stirring and, if required, with gentle heating, then after cooling made up to the desired volume and sterile-filtered through a suitable membrane filter with a pore size of 0.22 µm.

**B Water-miscible solvent (average rate of release)**

- |   |           |
|---|-----------|
| active ingredient                               | 0.1-1.0 g |
| 4-hydroxymethyl-1,3-dioxolane (glycerol formal) | 40 g      |
| 1,2-propanediol                                 | ad 100 ml |
| active ingredient                               | 0.1-1.0 g |
| glycerol dimethyl ketal                         | 40 g      |
| 1,2-propanediol                                 | ad 100 ml |

Preparation: The active ingredient is dissolved in part of the solvent whilst stirring, made up to the desired volume and sterile-filtered through a suitable membrane filter with a pore size of 0.22 µm.

**C. Aqueous solubilisate (rapid release)**

- |   |           |
|---|-----------|
| 1. active ingredient  | 0.1-1.0 g |
| polyethoxylated castor oil (40 ethylene oxide units)          | 10 g      |
| 1,2-propanediol   | 20 g      |
| benzyl alcohol  | 1 g       |
| aqua ad inject.   | ad 100 ml |
| 2. active ingredient  | 0.1-1.0 g |
| polyethoxylated sorbitan monooleate (20 ethylene oxide units) | 8 g       |
| 4-hydroxymethyl-1,3-dioxolane (glycerol formal)               | 20 g      |
| benzyl alcohol  | 1 g       |
| aqua ad inject.   | ad 100 ml |

Preparation: The active ingredient is dissolved in the solvents and the surfactant, and made up with water to the desired volume. Sterile filtration through an appropriate membrane filter of 0.22 µm pore size.

**5. Pour on**

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A.

|                     |           |
|---------------------|-----------|
| active ingredient   | 5 g       |
| isopropyl myristate | 10 g      |
| isopropanol         | ad 100 ml |

B

|                             |           |
|-----------------------------|-----------|
| active ingredient           | 2 g       |
| hexyl laurate               | 5 g       |
| medium-chained triglyceride | 15 g      |
| ethanol                     | ad 100 ml |

C.

|                      |           |
|----------------------|-----------|
| active ingredient    | 2 g       |
| oleyl oleate         | 5 g       |
| N-methyl-pyrrolidone | 40 g      |
| isopropanol          | ad 100 ml |

The aqueous systems may also preferably be used for oral and/or intraruminal application.

The compositions may also contain further additives, such as stabilisers, e.g. where appropriate epoxidised vegetable oils (epoxidised coconut oil, rapeseed oil, or soybean oil); antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders, tackifiers, as well as fertilisers or other active ingredients to achieve special effects.

Further biologically active substances or additives, which are neutral towards the compounds of formula I and do not have a harmful effect on the host animal to be treated, as well as mineral salts or vitamins, may also be added to the described compositions.

The following examples serve to illustrate the invention. They do not limit the invention. The letter 'h' stands for hour.

#### Preparation examples

##### Example 1: N-[1-cyano-1-methyl-2-(5-chlorobenzotriazol-1-yl)-ethyl]-4-trifluoromethoxy-benzamide

a) A mixture of 5 g of 5-chlorobenzotriazole, 1.4 g of chloroacetone, 5.1 g of potassium carbonate and 0.5 g of potassium iodide is stirred into 50 ml of acetone at room temperature for 48 h. The mixture is subsequently filtered, the filtrate concentrated by evaporation in a vacuum, and the residue purified by flash chromatography. In this way, two isomeric



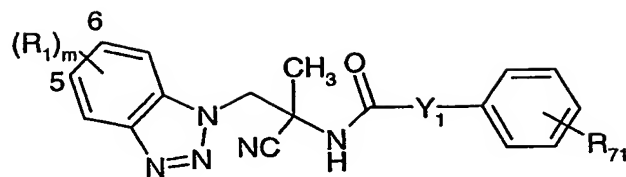
products, 1-(5-chlorobenzotriazol-1-yl)-propan-2-one and 1-(6-chlorobenzotriazol-1-yl)-propan-2-one, are isolated in approximately the same quantities, the first of which is then used directly in the next step.

b) 240 mg of 1-(5-chlorobenzotriazol-1-yl)-propan-2-one are dissolved in 4 ml of a 2-molar solution of ammonia in ethanol, then 64 mg of sodium cyanide and 91 mg of ammonium chloride are added and the mixture is stirred over night at room temperature. The reaction mixture is subsequently concentrated by evaporation in a vacuum, dissolved again in ethyl acetate, and washed with water and saturated sodium chloride solution. The organic phase is separated, dried with magnesium sulphate and concentrated by evaporation. 2-amino-3-(5-chlorobenzotriazol-1-yl)-2-methylpropionitrile is thus obtained.

c) 258 mg of 2-amino-3-(5-chlorobenzotriazol-1-yl)-2-methylpropionitrile are dissolved in 8 ml of dry dichloromethane, mixed with 194 mg of ethyl diisopropylamine, 16 mg of 4-dimethylaminopyridine and 292 mg of 4-(2-trifluoromethoxy)-benzoyl chloride and subsequently stirred for 7 h at room temperature. Subsequently, the reaction mixture is diluted with ethyl acetate, then washed with a saturated sodium bicarbonate solution and with saturated sodium chloride solution. After drying the organic phase with magnesium sulphate and concentrating by evaporation, the residue is recrystallised in diethylether. In this way, the title compound is obtained with a melting point of 135°C.

The substances named in the following table may also be prepared analogously to the above-described method. The values of the melting points are indicated in °C. Bd. signifies a direct bond.

Table 1



| No.  | Y <sub>1</sub> | (R <sub>1</sub> ) <sub>m</sub> | R <sub>71</sub>                     | phys. data |
|------|----------------|--------------------------------|-------------------------------------|------------|
| 1.1  | Bd.            | H                              | H                                   |            |
| 1.2  | Bd.            | H                              | 2-Cl                                |            |
| 1.3  | Bd.            | H                              | 3-Cl                                |            |
| 1.4  | Bd.            | H                              | 4-Cl                                |            |
| 1.5  | Bd.            | H                              | 2-F                                 |            |
| 1.6  | Bd.            | H                              | 3-F                                 |            |
| 1.7  | Bd.            | H                              | 4-F                                 |            |
| 1.8  | Bd.            | H                              | 2-CH <sub>3</sub>                   |            |
| 1.9  | Bd.            | H                              | 3-CH <sub>3</sub>                   |            |
| 1.10 | Bd.            | H                              | 4-CH <sub>3</sub>                   |            |
| 1.11 | Bd.            | H                              | 2-OCH <sub>3</sub>                  |            |
| 1.12 | Bd.            | H                              | 3-OCH <sub>3</sub>                  |            |
| 1.13 | Bd.            | H                              | 4-OCH <sub>3</sub>                  |            |
| 1.14 | Bd.            | H                              | 2-CF <sub>3</sub>                   |            |
| 1.15 | Bd.            | H                              | 3-CF <sub>3</sub>                   |            |
| 1.16 | Bd.            | H                              | 4-CF <sub>3</sub>                   |            |
| 1.17 | Bd.            | H                              | 2-OCF <sub>3</sub>                  |            |
| 1.18 | Bd.            | H                              | 3-OCF <sub>3</sub>                  |            |
| 1.19 | Bd.            | H                              | 4-OCF <sub>3</sub>                  |            |
| 1.20 | Bd.            | H                              | 2-OCF <sub>2</sub> CF <sub>2</sub>  |            |
| 1.21 | Bd.            | H                              | 3-OCF <sub>2</sub> CF <sub>2</sub>  |            |
| 1.22 | Bd.            | H                              | 4-OCF <sub>2</sub> CF <sub>2</sub>  |            |
| 1.23 | Bd.            | H                              | 2-OC <sub>2</sub> F <sub>5</sub>    |            |
| 1.24 | Bd.            | H                              | 3-OC <sub>2</sub> F <sub>5</sub>    |            |
| 1.25 | Bd.            | H                              | 4-OC <sub>2</sub> F <sub>5</sub>    |            |
| 1.26 | Bd.            | H                              | 2-OC <sub>6</sub> H <sub>5</sub>    |            |
| 1.27 | Bd.            | H                              | 3-OC <sub>6</sub> H <sub>5</sub>    |            |
| 1.28 | Bd.            | H                              | 4-OC <sub>6</sub> H <sub>5</sub>    |            |
| 1.29 | Bd.            | H                              | 2-C(O)C <sub>6</sub> H <sub>5</sub> |            |
| 1.30 | Bd.            | H                              | 3-C(O)C <sub>6</sub> H <sub>5</sub> |            |
| 1.31 | Bd.            | H                              | 4-C(O)C <sub>6</sub> H <sub>5</sub> |            |
| 1.32 | Bd.            | H                              | 4-CN                                |            |
| 1.33 | Bd.            | 5-Cl                           | H                                   |            |
| 1.34 | Bd.            | 5-Cl                           | 2-Cl                                |            |
| 1.35 | Bd.            | 5-Cl                           | 3-Cl                                |            |
| 1.36 | Bd.            | 5-Cl                           | 4-Cl                                |            |
| 1.37 | Bd.            | 5-Cl                           | 2-F                                 |            |
| 1.38 | Bd.            | 5-Cl                           | 3-F                                 |            |
| 1.39 | Bd.            | 5-Cl                           | 4-F                                 |            |
| 1.40 | Bd.            | 5-Cl                           | 2-CH <sub>3</sub>                   |            |

|      |     |      |                                     |           |
|------|-----|------|-------------------------------------|-----------|
| 1.41 | Bd. | 5-Cl | 3-CH <sub>3</sub>                   |           |
| 1.42 | Bd. | 5-Cl | 4-CH <sub>3</sub>                   |           |
| 1.43 | Bd. | 5-Cl | 2-OCH <sub>3</sub>                  |           |
| 1.44 | Bd. | 5-Cl | 3-OCH <sub>3</sub>                  |           |
| 1.45 | Bd. | 5-Cl | 4-OCH <sub>3</sub>                  |           |
| 1.46 | Bd. | 5-Cl | 2-CF <sub>3</sub>                   |           |
| 1.47 | Bd. | 5-Cl | 3-CF <sub>3</sub>                   |           |
| 1.48 | Bd. | 5-Cl | 4-CF <sub>3</sub>                   |           |
| 1.49 | Bd. | 5-Cl | 2-OCF <sub>3</sub>                  |           |
| 1.50 | Bd. | 5-Cl | 3-OCF <sub>3</sub>                  |           |
| 1.51 | Bd. | 5-Cl | 4-OCF <sub>3</sub>                  | m.p. 135° |
| 1.52 | Bd. | 5-Cl | 2-OCF <sub>2</sub> CF <sub>2</sub>  |           |
| 1.53 | Bd. | 5-Cl | 3-OCF <sub>2</sub> CF <sub>2</sub>  |           |
| 1.54 | Bd. | 5-Cl | 4-OCF <sub>2</sub> CF <sub>2</sub>  |           |
| 1.55 | Bd. | 5-Cl | 2-OC <sub>2</sub> F <sub>5</sub>    |           |
| 1.56 | Bd. | 5-Cl | 3-OC <sub>2</sub> F <sub>5</sub>    |           |
| 1.57 | Bd. | 5-Cl | 4-OC <sub>2</sub> F <sub>5</sub>    |           |
| 1.58 | Bd. | 5-Cl | 2-OC <sub>6</sub> H <sub>5</sub>    |           |
| 1.59 | Bd. | 5-Cl | 3-OC <sub>6</sub> H <sub>5</sub>    |           |
| 1.60 | Bd. | 5-Cl | 4-OC <sub>6</sub> H <sub>5</sub>    |           |
| 1.61 | Bd. | 5-Cl | 2-C(O)C <sub>6</sub> H <sub>5</sub> |           |
| 1.62 | Bd. | 5-Cl | 3-C(O)C <sub>6</sub> H <sub>5</sub> |           |
| 1.63 | Bd. | 5-Cl | 4-C(O)C <sub>6</sub> H <sub>5</sub> |           |
| 1.64 | Bd. | 5-Cl | 4-CN                                |           |
| 1.65 | Bd. | 6-Cl | H                                   |           |
| 1.66 | Bd. | 6-Cl | 2-Cl                                |           |
| 1.67 | Bd. | 6-Cl | 3-Cl                                |           |
| 1.68 | Bd. | 6-Cl | 4-Cl                                |           |
| 1.69 | Bd. | 6-Cl | 2-F                                 |           |
| 1.70 | Bd. | 6-Cl | 3-F                                 |           |
| 1.71 | Bd. | 6-Cl | 4-F                                 |           |
| 1.72 | Bd. | 6-Cl | 2-CH <sub>3</sub>                   |           |
| 1.73 | Bd. | 6-Cl | 3-CH <sub>3</sub>                   |           |
| 1.74 | Bd. | 6-Cl | 4-CH <sub>3</sub>                   |           |
| 1.75 | Bd. | 6-Cl | 2-OCH <sub>3</sub>                  |           |
| 1.76 | Bd. | 6-Cl | 3-OCH <sub>3</sub>                  |           |
| 1.77 | Bd. | 6-Cl | 4-OCH <sub>3</sub>                  |           |
| 1.78 | Bd. | 6-Cl | 2-CF <sub>3</sub>                   |           |
| 1.79 | Bd. | 6-Cl | 3-CF <sub>3</sub>                   |           |
| 1.80 | Bd. | 6-Cl | 4-CF <sub>3</sub>                   |           |
| 1.81 | Bd. | 6-Cl | 2-OCF <sub>3</sub>                  |           |
| 1.82 | Bd. | 6-Cl | 3-OCF <sub>3</sub>                  |           |
| 1.83 | Bd. | 6-Cl | 4-OCF <sub>3</sub>                  | m.p. 124° |
| 1.84 | Bd. | 6-Cl | 2-OCF <sub>2</sub> CF <sub>2</sub>  |           |
| 1.85 | Bd. | 6-Cl | 3-OCF <sub>2</sub> CF <sub>2</sub>  |           |
| 1.86 | Bd. | 6-Cl | 4-OCF <sub>2</sub> CF <sub>2</sub>  |           |
| 1.87 | Bd. | 6-Cl | 2-OC <sub>2</sub> F <sub>5</sub>    |           |
| 1.88 | Bd. | 6-Cl | 3-OC <sub>2</sub> F <sub>5</sub>    |           |
| 1.89 | Bd. | 6-Cl | 4-OC <sub>2</sub> F <sub>5</sub>    |           |
| 1.90 | Bd. | 6-Cl | 2-OC <sub>6</sub> H <sub>5</sub>    |           |

|       |     |           |                                     |       |
|-------|-----|-----------|-------------------------------------|-------|
| 1.91  | Bd. | 6-Cl      | 3-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.92  | Bd. | 6-Cl      | 4-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.93  | Bd. | 6-Cl      | 2-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.94  | Bd. | 6-Cl      | 3-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.95  | Bd. | 6-Cl      | 4-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.96  | Bd. | 6-Cl      | 4-CN                                |       |
| 1.97  | Bd. | 5-Cl, 6-F | H                                   |       |
| 1.98  | Bd. | 5-Cl, 6-F | 2-Cl                                |       |
| 1.99  | Bd. | 5-Cl, 6-F | 3-Cl                                |       |
| 1.100 | Bd. | 5-Cl, 6-F | 4-Cl                                |       |
| 1.101 | Bd. | 5-Cl, 6-F | 2-F                                 |       |
| 1.102 | Bd. | 5-Cl, 6-F | 3-F                                 |       |
| 1.103 | Bd. | 5-Cl, 6-F | 4-F                                 |       |
| 1.104 | Bd. | 5-Cl, 6-F | 2-CH <sub>3</sub>                   |       |
| 1.105 | Bd. | 5-Cl, 6-F | 3-CH <sub>3</sub>                   |       |
| 1.106 | Bd. | 5-Cl, 6-F | 4-CH <sub>3</sub>                   |       |
| 1.107 | Bd. | 5-Cl, 6-F | 2-OCH <sub>3</sub>                  |       |
| 1.108 | Bd. | 5-Cl, 6-F | 3-OCH <sub>3</sub>                  |       |
| 1.109 | Bd. | 5-Cl, 6-F | 4-OCH <sub>3</sub>                  |       |
| 1.110 | Bd. | 5-Cl, 6-F | 2-CF <sub>3</sub>                   |       |
| 1.111 | Bd. | 5-Cl, 6-F | 3-CF <sub>3</sub>                   |       |
| 1.112 | Bd. | 5-Cl, 6-F | 4-CF <sub>3</sub>                   |       |
| 1.113 | Bd. | 5-Cl, 6-F | 2-OCF <sub>3</sub>                  |       |
| 1.114 | Bd. | 5-Cl, 6-F | 3-OCF <sub>3</sub>                  |       |
| 1.115 | Bd. | 5-Cl, 6-F | 4-OCF <sub>3</sub>                  | solid |
| 1.116 | Bd. | 5-Cl, 6-F | 2-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.117 | Bd. | 5-Cl, 6-F | 3-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.118 | Bd. | 5-Cl, 6-F | 4-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.119 | Bd. | 5-Cl, 6-F | 2-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.120 | Bd. | 5-Cl, 6-F | 3-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.121 | Bd. | 5-Cl, 6-F | 4-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.122 | Bd. | 5-Cl, 6-F | 2-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.123 | Bd. | 5-Cl, 6-F | 3-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.124 | Bd. | 5-Cl, 6-F | 4-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.125 | Bd. | 5-Cl, 6-F | 2-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.126 | Bd. | 5-Cl, 6-F | 3-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.127 | Bd. | 5-Cl, 6-F | 4-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.128 | Bd. | 5-Cl, 6-F | 4-CN                                |       |
| 1.129 | Bd. | 6-Cl, 5-F | H                                   |       |
| 1.130 | Bd. | 6-Cl, 5-F | 2-Cl                                |       |
| 1.131 | Bd. | 6-Cl, 5-F | 3-Cl                                |       |
| 1.132 | Bd. | 6-Cl, 5-F | 4-Cl                                |       |
| 1.133 | Bd. | 6-Cl, 5-F | 2-F                                 |       |
| 1.134 | Bd. | 6-Cl, 5-F | 3-F                                 |       |
| 1.135 | Bd. | 6-Cl, 5-F | 4-F                                 |       |
| 1.136 | Bd. | 6-Cl, 5-F | 2-CH <sub>3</sub>                   |       |
| 1.137 | Bd. | 6-Cl, 5-F | 3-CH <sub>3</sub>                   |       |
| 1.138 | Bd. | 6-Cl, 5-F | 4-CH <sub>3</sub>                   |       |
| 1.139 | Bd. | 6-Cl, 5-F | 2-OCH <sub>3</sub>                  |       |
| 1.140 | Bd. | 6-Cl, 5-F | 3-OCH <sub>3</sub>                  |       |

|       |                   |           |                                     |       |
|-------|-------------------|-----------|-------------------------------------|-------|
| 1.141 | Bd.               | 6-Cl, 5-F | 4-OCH <sub>3</sub>                  |       |
| 1.142 | Bd.               | 6-Cl, 5-F | 2-CF <sub>3</sub>                   |       |
| 1.143 | Bd.               | 6-Cl, 5-F | 3-CF <sub>3</sub>                   |       |
| 1.144 | Bd.               | 6-Cl, 5-F | 4-CF <sub>3</sub>                   |       |
| 1.145 | Bd.               | 6-Cl, 5-F | 2-OCF <sub>3</sub>                  |       |
| 1.146 | Bd.               | 6-Cl, 5-F | 3-OCF <sub>3</sub>                  |       |
| 1.147 | Bd.               | 6-Cl, 5-F | 4-OCF <sub>3</sub>                  | solid |
| 1.148 | Bd.               | 6-Cl, 5-F | 2-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.149 | Bd.               | 6-Cl, 5-F | 3-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.150 | Bd.               | 6-Cl, 5-F | 4-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.151 | Bd.               | 6-Cl, 5-F | 2-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.152 | Bd.               | 6-Cl, 5-F | 3-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.153 | Bd.               | 6-Cl, 5-F | 4-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.154 | Bd.               | 6-Cl, 5-F | 2-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.155 | Bd.               | 6-Cl, 5-F | 3-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.156 | Bd.               | 6-Cl, 5-F | 4-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.157 | Bd.               | 6-Cl, 5-F | 2-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.158 | Bd.               | 6-Cl, 5-F | 3-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.159 | Bd.               | 6-Cl, 5-F | 4-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.160 | Bd.               | 6-Cl, 5-F | 4-CN                                |       |
| 1.161 | CH <sub>2</sub> O | H         | H                                   |       |
| 1.162 | CH <sub>2</sub> O | H         | 2-Cl                                |       |
| 1.163 | CH <sub>2</sub> O | H         | 3-Cl                                |       |
| 1.164 | CH <sub>2</sub> O | H         | 4-Cl                                |       |
| 1.165 | CH <sub>2</sub> O | H         | 2-F                                 |       |
| 1.166 | CH <sub>2</sub> O | H         | 3-F                                 |       |
| 1.167 | CH <sub>2</sub> O | H         | 4-F                                 |       |
| 1.168 | CH <sub>2</sub> O | H         | 2-CH <sub>3</sub>                   |       |
| 1.169 | CH <sub>2</sub> O | H         | 3-CH <sub>3</sub>                   |       |
| 1.170 | CH <sub>2</sub> O | H         | 4-CH <sub>3</sub>                   |       |
| 1.171 | CH <sub>2</sub> O | H         | 2-OCH <sub>3</sub>                  |       |
| 1.172 | CH <sub>2</sub> O | H         | 3-OCH <sub>3</sub>                  |       |
| 1.173 | CH <sub>2</sub> O | H         | 4-OCH <sub>3</sub>                  |       |
| 1.174 | CH <sub>2</sub> O | H         | 2-CF <sub>3</sub>                   |       |
| 1.175 | CH <sub>2</sub> O | H         | 3-CF <sub>3</sub>                   |       |
| 1.176 | CH <sub>2</sub> O | H         | 4-CF <sub>3</sub>                   |       |
| 1.177 | CH <sub>2</sub> O | H         | 2-OCF <sub>3</sub>                  |       |
| 1.178 | CH <sub>2</sub> O | H         | 3-OCF <sub>3</sub>                  |       |
| 1.179 | CH <sub>2</sub> O | H         | 4-OCF <sub>3</sub>                  |       |
| 1.180 | CH <sub>2</sub> O | H         | 2-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.181 | CH <sub>2</sub> O | H         | 3-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.182 | CH <sub>2</sub> O | H         | 4-OCF <sub>2</sub> CF <sub>2</sub>  |       |
| 1.183 | CH <sub>2</sub> O | H         | 2-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.184 | CH <sub>2</sub> O | H         | 3-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.185 | CH <sub>2</sub> O | H         | 4-OC <sub>2</sub> F <sub>5</sub>    |       |
| 1.186 | CH <sub>2</sub> O | H         | 2-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.187 | CH <sub>2</sub> O | H         | 3-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.188 | CH <sub>2</sub> O | H         | 4-OC <sub>6</sub> H <sub>5</sub>    |       |
| 1.189 | CH <sub>2</sub> O | H         | 2-C(O)C <sub>6</sub> H <sub>5</sub> |       |
| 1.190 | CH <sub>2</sub> O | H         | 3-C(O)C <sub>6</sub> H <sub>5</sub> |       |

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|-------|-------------------|------|-------------------------------------|
| 1.191 | CH <sub>2</sub> O | H    | 4-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.192 | CH <sub>2</sub> O | H    | 4-CN                                |
| 1.193 | CH <sub>2</sub> O | 5-Cl | H                                   |
| 1.194 | CH <sub>2</sub> O | 5-Cl | 2-Cl                                |
| 1.195 | CH <sub>2</sub> O | 5-Cl | 3-Cl                                |
| 1.196 | CH <sub>2</sub> O | 5-Cl | 4-Cl                                |
| 1.197 | CH <sub>2</sub> O | 5-Cl | 2-F                                 |
| 1.198 | CH <sub>2</sub> O | 5-Cl | 3-F                                 |
| 1.199 | CH <sub>2</sub> O | 5-Cl | 4-F                                 |
| 1.200 | CH <sub>2</sub> O | 5-Cl | 2-CH <sub>3</sub>                   |
| 1.201 | CH <sub>2</sub> O | 5-Cl | 3-CH <sub>3</sub>                   |
| 1.202 | CH <sub>2</sub> O | 5-Cl | 4-CH <sub>3</sub>                   |
| 1.203 | CH <sub>2</sub> O | 5-Cl | 2-OCH <sub>3</sub>                  |
| 1.204 | CH <sub>2</sub> O | 5-Cl | 3-OCH <sub>3</sub>                  |
| 1.205 | CH <sub>2</sub> O | 5-Cl | 4-OCH <sub>3</sub>                  |
| 1.206 | CH <sub>2</sub> O | 5-Cl | 2-CF <sub>3</sub>                   |
| 1.207 | CH <sub>2</sub> O | 5-Cl | 3-CF <sub>3</sub>                   |
| 1.208 | CH <sub>2</sub> O | 5-Cl | 4-CF <sub>3</sub>                   |
| 1.209 | CH <sub>2</sub> O | 5-Cl | 2-OCF <sub>3</sub>                  |
| 1.210 | CH <sub>2</sub> O | 5-Cl | 3-OCF <sub>3</sub>                  |
| 1.211 | CH <sub>2</sub> O | 5-Cl | 4-OCF <sub>3</sub>                  |
| 1.212 | CH <sub>2</sub> O | 5-Cl | 2-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.213 | CH <sub>2</sub> O | 5-Cl | 3-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.214 | CH <sub>2</sub> O | 5-Cl | 4-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.215 | CH <sub>2</sub> O | 5-Cl | 2-OC <sub>2</sub> F <sub>5</sub>    |
| 1.216 | CH <sub>2</sub> O | 5-Cl | 3-OC <sub>2</sub> F <sub>5</sub>    |
| 1.217 | CH <sub>2</sub> O | 5-Cl | 4-OC <sub>2</sub> F <sub>5</sub>    |
| 1.218 | CH <sub>2</sub> O | 5-Cl | 2-OC <sub>6</sub> H <sub>5</sub>    |
| 1.219 | CH <sub>2</sub> O | 5-Cl | 3-OC <sub>6</sub> H <sub>5</sub>    |
| 1.220 | CH <sub>2</sub> O | 5-Cl | 4-OC <sub>6</sub> H <sub>5</sub>    |
| 1.221 | CH <sub>2</sub> O | 5-Cl | 2-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.222 | CH <sub>2</sub> O | 5-Cl | 3-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.223 | CH <sub>2</sub> O | 5-Cl | 4-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.224 | CH <sub>2</sub> O | 5-Cl | 4-CN                                |
| 1.225 | CH <sub>2</sub> O | 6-Cl | H                                   |
| 1.226 | CH <sub>2</sub> O | 6-Cl | 2-Cl                                |
| 1.227 | CH <sub>2</sub> O | 6-Cl | 3-Cl                                |
| 1.228 | CH <sub>2</sub> O | 6-Cl | 4-Cl                                |
| 1.229 | CH <sub>2</sub> O | 6-Cl | 2-F                                 |
| 1.230 | CH <sub>2</sub> O | 6-Cl | 3-F                                 |
| 1.231 | CH <sub>2</sub> O | 6-Cl | 4-F                                 |
| 1.232 | CH <sub>2</sub> O | 6-Cl | 2-CH <sub>3</sub>                   |
| 1.233 | CH <sub>2</sub> O | 6-Cl | 3-CH <sub>3</sub>                   |
| 1.234 | CH <sub>2</sub> O | 6-Cl | 4-CH <sub>3</sub>                   |
| 1.235 | CH <sub>2</sub> O | 6-Cl | 2-OCH <sub>3</sub>                  |
| 1.236 | CH <sub>2</sub> O | 6-Cl | 3-OCH <sub>3</sub>                  |
| 1.237 | CH <sub>2</sub> O | 6-Cl | 4-OCH <sub>3</sub>                  |
| 1.238 | CH <sub>2</sub> O | 6-Cl | 2-CF <sub>3</sub>                   |
| 1.239 | CH <sub>2</sub> O | 6-Cl | 3-CF <sub>3</sub>                   |
| 1.240 | CH <sub>2</sub> O | 6-Cl | 4-CF <sub>3</sub>                   |

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|-------|-------------------|-----------|-------------------------------------|
| 1.241 | CH <sub>2</sub> O | 6-Cl      | 2-OCF <sub>3</sub>                  |
| 1.242 | CH <sub>2</sub> O | 6-Cl      | 3-OCF <sub>3</sub>                  |
| 1.243 | CH <sub>2</sub> O | 6-Cl      | 4-OCF <sub>3</sub>                  |
| 1.244 | CH <sub>2</sub> O | 6-Cl      | 2-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.245 | CH <sub>2</sub> O | 6-Cl      | 3-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.246 | CH <sub>2</sub> O | 6-Cl      | 4-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.247 | CH <sub>2</sub> O | 6-Cl      | 2-OC <sub>2</sub> F <sub>5</sub>    |
| 1.248 | CH <sub>2</sub> O | 6-Cl      | 3-OC <sub>2</sub> F <sub>5</sub>    |
| 1.249 | CH <sub>2</sub> O | 6-Cl      | 4-OC <sub>2</sub> F <sub>5</sub>    |
| 1.250 | CH <sub>2</sub> O | 6-Cl      | 2-OC <sub>6</sub> H <sub>5</sub>    |
| 1.251 | CH <sub>2</sub> O | 6-Cl      | 3-OC <sub>6</sub> H <sub>5</sub>    |
| 1.252 | CH <sub>2</sub> O | 6-Cl      | 4-OC <sub>6</sub> H <sub>5</sub>    |
| 1.253 | CH <sub>2</sub> O | 6-Cl      | 2-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.254 | CH <sub>2</sub> O | 6-Cl      | 3-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.255 | CH <sub>2</sub> O | 6-Cl      | 4-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.256 | CH <sub>2</sub> O | 6-Cl      | 4-CN                                |
| 1.257 | CH <sub>2</sub> O | 5-Cl, 6-F | H                                   |
| 1.258 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-Cl                                |
| 1.259 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-Cl                                |
| 1.260 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-Cl                                |
| 1.261 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-F                                 |
| 1.262 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-F                                 |
| 1.263 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-F                                 |
| 1.264 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-CH <sub>3</sub>                   |
| 1.265 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-CH <sub>3</sub>                   |
| 1.266 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-CH <sub>3</sub>                   |
| 1.267 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-OCH <sub>3</sub>                  |
| 1.268 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-OCH <sub>3</sub>                  |
| 1.269 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-OCH <sub>3</sub>                  |
| 1.270 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-CF <sub>3</sub>                   |
| 1.271 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-CF <sub>3</sub>                   |
| 1.272 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-CF <sub>3</sub>                   |
| 1.273 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-OCF <sub>3</sub>                  |
| 1.274 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-OCF <sub>3</sub>                  |
| 1.275 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-OCF <sub>3</sub>                  |
| 1.276 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.277 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.278 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.279 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-OC <sub>2</sub> F <sub>5</sub>    |
| 1.280 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-OC <sub>2</sub> F <sub>5</sub>    |
| 1.281 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-OC <sub>2</sub> F <sub>5</sub>    |
| 1.282 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-OC <sub>6</sub> H <sub>5</sub>    |
| 1.283 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-OC <sub>6</sub> H <sub>5</sub>    |
| 1.284 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-OC <sub>6</sub> H <sub>5</sub>    |
| 1.285 | CH <sub>2</sub> O | 5-Cl, 6-F | 2-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.286 | CH <sub>2</sub> O | 5-Cl, 6-F | 3-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.287 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.288 | CH <sub>2</sub> O | 5-Cl, 6-F | 4-CN                                |
| 1.289 | CH <sub>2</sub> O | 6-Cl, 5-F | H                                   |
| 1.290 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-Cl                                |

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|-------|-------------------|-----------|-------------------------------------|
| 1.291 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-Cl                                |
| 1.292 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-Cl                                |
| 1.293 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-F                                 |
| 1.294 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-F                                 |
| 1.295 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-F                                 |
| 1.296 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-CH <sub>3</sub>                   |
| 1.297 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-CH <sub>3</sub>                   |
| 1.298 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-CH <sub>3</sub>                   |
| 1.299 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-OCH <sub>3</sub>                  |
| 1.300 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-OCH <sub>3</sub>                  |
| 1.301 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-OCH <sub>3</sub>                  |
| 1.302 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-CF <sub>3</sub>                   |
| 1.303 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-CF <sub>3</sub>                   |
| 1.304 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-CF <sub>3</sub>                   |
| 1.305 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-OCF <sub>3</sub>                  |
| 1.306 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-OCF <sub>3</sub>                  |
| 1.307 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-OCF <sub>3</sub>                  |
| 1.308 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.309 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.310 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-OCF <sub>2</sub> CF <sub>2</sub>  |
| 1.311 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-OC <sub>2</sub> F <sub>5</sub>    |
| 1.312 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-OC <sub>2</sub> F <sub>5</sub>    |
| 1.313 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-OC <sub>2</sub> F <sub>5</sub>    |
| 1.314 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-OC <sub>6</sub> H <sub>5</sub>    |
| 1.315 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-OC <sub>6</sub> H <sub>5</sub>    |
| 1.316 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-OC <sub>6</sub> H <sub>5</sub>    |
| 1.317 | CH <sub>2</sub> O | 6-Cl, 5-F | 2-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.318 | CH <sub>2</sub> O | 6-Cl, 5-F | 3-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.319 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-C(O)C <sub>6</sub> H <sub>5</sub> |
| 1.320 | CH <sub>2</sub> O | 6-Cl, 5-F | 4-CN                                |

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Biological Examples:1. In-vivo test on *Trichostrongylus colubriformis* and *Haemonchus contortus* on Mongolian gerbils (*Meriones unguiculatus*) using peroral application

Six to eight week old Mongolian gerbils are infected by artificial feeding with ca. 2000 third instar larvae each of *T. colubriformis* and *H. contortus*. 6 days after infection, the gerbils are lightly anaesthetised with N<sub>2</sub>O and treated by peroral application with the test compounds, dissolved in a mixture of 2 parts DMSO and 1 part polyethylene glycol (PEG 300), in quantities of 100, 32 and 10 -0.1 mg/kg. On day 9 (3 days after treatment), when most of the *H. contortus* that are still present are late 4th instar larvae and most of the *T. colubriformis* are immature adults, the gerbils are killed in order to count the worms. The efficacy is calculated as the % reduction of the number of worms in each gerbil, compared with the geometric average of number of worms from 8 infected and untreated gerbils.

In this test, a vast reduction in nematode infestation is achieved with compounds of formula I, especially from Table 1.

To examine the insecticidal and/or acaricidal activity of the compounds of formula I on animals and plants, the following test methods may be used.

2. Activity on L<sub>1</sub> larvae of *Lucilia sericata*

1 ml of an aqueous suspension of the active substance to be tested is admixed with 3 ml of a special larvae growth medium at ca. 50°C, so that a homogenate of either 250 or 125 ppm of active ingredient content is obtained. Ca. 30 *Lucilia* larvae (L<sub>1</sub>) are used in each test tube sample. After 4 days, the mortality rate is determined.

3. Acaricidal activity on *Boophilus microplus* (Biarra strain)

A piece of sticky tape is attached horizontally to a PVC sheet, so that 10 fully engorged female ticks of *Boophilus microplus* (Biarra strain) can be adhered thereto by their backs, side by side, in a row. Using an injection needle, 1 µl of a liquid is injected into each tick. The liquid is a 1:1 mixture of polyethylene glycol and acetone and it contains, dissolved therein, a certain amount of active ingredient chosen from 1, 0.1 or 0.01 µg per tick. Control animals are given an injection without active ingredient. After treatment, the animals are kept under normal conditions in an insectarium at ca. 28°C and at 80% relative humidity until oviposition takes place and the larvae have hatched from the eggs of the control animals. The activity of a tested substance is determined by IR<sub>90</sub>, i.e. an evaluation is made of the dosage of active

ingredient at which 9 out of 10 female ticks (=90%) lay eggs that are infertile even after 30 days.

4. In vitro efficacy on engorged female *Boophilus microplus* (BIARRA):

4x10 engorged female ticks of the OP-resistant BIARRA strain are adhered to a sticky strip and covered for 1 hour with a cotton-wool ball soaked in an emulsion or suspension of the test compound in concentrations of 500, 125, 31 and 8 ppm respectively. Evaluation takes place 28 days later based on mortality, oviposition and hatched larvae.

An indication of the activity of the test compounds is shown by the number of females that

- die quickly before laying eggs,
- survive for some time without laying eggs,
- lay eggs in which no embryos are formed,
- lay eggs in which embryos form, from which no larvae hatch, and
- lay eggs in which embryos form, from which larvae normally hatch within 26 to 27 days.

5. In vitro efficacy on nymphs of *Amblyomma hebraeum*

About 5 fasting nymphs are placed in a polystyrene test tube containing 2 ml of the test compound in solution, suspension or emulsion.

After immersion for 10 minutes, and shaking for 2x10 seconds on a vortex mixer, the test tubes are blocked up with a tight wad of cotton wool and rotated. As soon as all the liquid has been soaked up by the cotton wool ball, it is pushed half-way into the test tube which is still being rotated, so that most of the liquid is squeezed out of the cotton-wool ball and flows into a Petri dish below.

The test tubes are then kept at room temperature in a room with daylight until evaluated.

After 14 days, the test tubes are immersed in a beaker of boiling water. If the ticks begin to move in reaction to the heat, the test substance is inactive at the tested concentration, otherwise the ticks are regarded as dead and the test substances regarded as active at the tested concentration. All substances are tested in a concentration range of 0.1 to 100 ppm.

6. Activity against *Dermanyssus gallinae*

2 to 3 ml of a solution containing 10 ppm active ingredient, and ca. 200 mites (*Dermanyssus gallinae*) at different stages of development are added to a glass container which is open at the top. Then the container is closed with a wad of cotton wool, shaken for 10 minutes until the mites are completely wet, and then inverted briefly so that the remaining test solution can

be absorbed by the cotton wool. After 3 days, the mortality of the mites is determined by counting the dead individuals and indicated as a percentage.

7. Activity against *Musca domestica*

A sugar cube is treated with a solution of the test substance in such a way that the concentration of test substance in the sugar, after drying over night, is 250 ppm. The cube treated in this way is placed on an aluminium dish with wet cotton wool and 10 adult *Musca domestica* of an OP-resistant strain, covered with a beaker and incubated at 25°C. The mortality rate is determined after 24 hours.